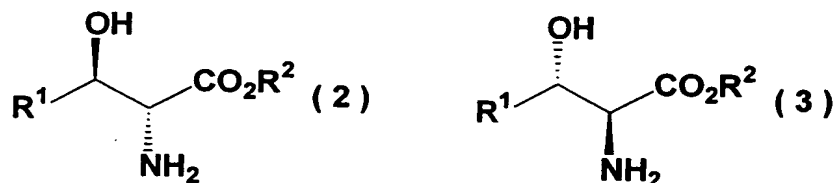


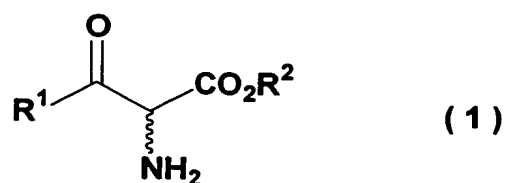
## CLAIMS

1. A process for producing optically active  $\beta$ -hydroxy- $\alpha$ -aminocarboxylic acid derivative of formula (2) or (3)



wherein  $\text{R}^1$  is  $\text{C}_{1-20}$  alkyl group [the  $\text{C}_{1-20}$  alkyl group may be arbitrarily substituted with  $\text{C}_{4-12}$  aromatic group (the aromatic group may be arbitrarily substituted with halogen atom,  $\text{C}_{1-6}$  alkyl group,  $\text{C}_{1-6}$  alkoxy group,  $\text{C}_{1-6}$  alkoxy carbonyl group,  $\text{C}_{1-6}$  alkyl carbonyloxy group or  $\text{CONR}^4\text{R}^5$  wherein  $\text{R}^4$  and  $\text{R}^5$  are independently of each other are hydrogen atom or  $\text{C}_{1-6}$  alkyl group),  $\text{C}_{1-6}$  alkoxy group,  $\text{C}_{1-6}$  alkoxy carbonyl group or  $\text{CONR}^4\text{R}^5$  wherein  $\text{R}^4$  and  $\text{R}^5$  are independently of each other are hydrogen atom or  $\text{C}_{1-6}$  alkyl group], or  $\text{C}_{4-12}$  aromatic group [the aromatic group may be arbitrarily substituted with halogen atom,  $\text{C}_{1-6}$  alkyl group,  $\text{C}_{1-6}$  alkoxy group,  $\text{C}_{1-6}$  alkoxy carbonyl group,  $\text{C}_{1-6}$  alkyl carbonyloxy group (the  $\text{C}_{1-6}$  alkyl group,  $\text{C}_{1-6}$  alkoxy group,  $\text{C}_{1-6}$  alkoxy carbonyl group and  $\text{C}_{1-6}$  alkyl carbonyloxy group may be arbitrarily substituted with  $\text{C}_{4-12}$  aromatic group (the aromatic group may be arbitrarily substituted with halogen atom)) or  $\text{CONR}^4\text{R}^5$  wherein  $\text{R}^4$  and  $\text{R}^5$  are independently of each other are hydrogen atom or  $\text{C}_{1-6}$  alkyl group],

$\text{R}^2$  is  $\text{C}_{1-20}$  alkyl group [the  $\text{C}_{1-20}$  alkyl group may be arbitrarily substituted with  $\text{C}_{4-12}$  aromatic group (the aromatic group may be arbitrarily substituted with halogen atom,  $\text{C}_{1-6}$  alkyl group,  $\text{C}_{1-6}$  alkoxy group,  $\text{C}_{1-6}$  alkoxy carbonyl group,  $\text{C}_{1-6}$  alkyl carbonyloxy group or  $\text{CONR}^4\text{R}^5$  wherein  $\text{R}^4$  and  $\text{R}^5$  are independently of each other are hydrogen atom or  $\text{C}_{1-6}$  alkyl group),  $\text{C}_{1-6}$  alkoxy group,  $\text{C}_{1-6}$  alkoxy carbonyl group or  $\text{CONR}^4\text{R}^5$  wherein  $\text{R}^4$  and  $\text{R}^5$  are independently of each other are hydrogen atom or  $\text{C}_{1-6}$  alkyl group], or  $\text{C}_{4-12}$  aromatic group [the aromatic group may be arbitrarily substituted with halogen atom,  $\text{C}_{1-6}$  alkyl group,  $\text{C}_{1-6}$  alkoxy group,  $\text{C}_{1-6}$  alkoxy carbonyl group,  $\text{C}_{1-6}$  alkyl carbonyloxy group or  $\text{CONR}^4\text{R}^5$  wherein  $\text{R}^4$  and  $\text{R}^5$  are independently of each other are hydrogen atom or  $\text{C}_{1-6}$  alkyl group], characterized by comprising subjecting an  $\alpha$ -aminoacyl acetic acid ester compound of formula (1)

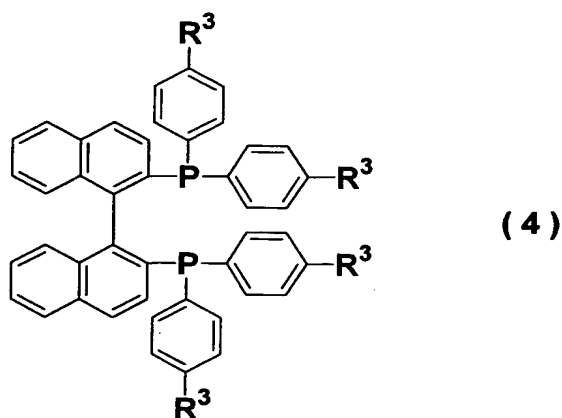


wherein R<sup>1</sup> and R<sup>2</sup> have the same meaning as the above, to hydrogenation by catalytic asymmetric hydrogenation in the presence of an acid.

2. The process for producing optically active β-hydroxy-α-aminocarboxylic acid derivative according to claim 1, wherein the catalyst used for the catalytic asymmetric hydrogenation is a complex of a Group VIII transition metal of the Periodic Table having an optically active phosphine ligand.

3. The process for producing optically active β-hydroxy-α-aminocarboxylic acid derivative according to claim 2, wherein the Group VIII transition metal of the Periodic Table is ruthenium, iridium or rhodium, and the optically active phosphine ligand is an optically active bidentate phosphine ligand.

4. The process for producing optically active β-hydroxy-α-aminocarboxylic acid derivative according to claim 3, wherein the Group VIII transition metal of the Periodic Table is ruthenium, and the optically active bidentate phosphine ligand is represented by formula (4)



wherein R<sup>3</sup> is hydrogen atom, methyl group, or tertiary butyl group, absolute configuration is either S or R.

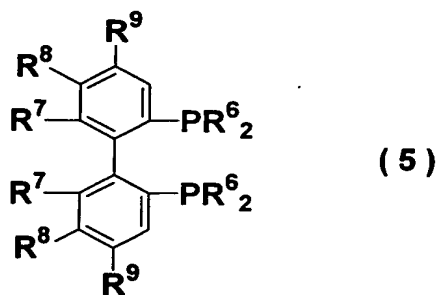
5. The process for producing optically active β-hydroxy-α-aminocarboxylic acid derivative according to claim 4, wherein the complex of a Group VIII transition metal of

the Periodic Table is  $\text{RuHX}^1(\text{R}^3\text{-BINAP})_2$ ,  $\text{RuX}^2_2(\text{R}^3\text{-BINAP})$  or  $\text{Ru}_2\text{Cl}_4(\text{R}^3\text{-BINAP})_2(\text{Et}_3\text{N})$  wherein  $\text{R}^3\text{-BINAP}$  is the optically active bidentate phosphine ligand of formula (4), Et is ethyl group,  $\text{X}^1$  and  $\text{X}^2$  independently of each other are Cl,  $\text{ClO}_4$ ,  $\text{BF}_4$ ,  $\text{PF}_6$ ,  $\text{OCOCH}_3$ ,  $\text{OCOCF}_3$ ,  $\text{OCO-t-Bu}$  or  $\text{OSO}_2\text{CF}_3$ , the complex may be further coordinated with N,N-dimethylformamide, benzene,  $\text{AlCl}_3$ ,  $\text{SnCl}_4$ ,  $\text{TiCl}_4$  or  $\text{ZnCl}_2$ .

6. The process for producing optically active  $\beta$ -hydroxy- $\alpha$ -aminocarboxylic acid derivative according to claim 5, wherein the complex of a Group VIII transition metal of the Periodic Table is  $\text{RuX}^2_2(\text{R}^3\text{-BINAP})$  wherein  $\text{X}^2$  and  $\text{R}^3\text{-BINAP}$  have the same meaning as the above, the complex may be further coordinated with N,N-dimethylformamide, benzene,  $\text{AlCl}_3$ ,  $\text{SnCl}_4$ ,  $\text{TiCl}_4$  or  $\text{ZnCl}_2$ .

7. The process for producing optically active  $\beta$ -hydroxy- $\alpha$ -aminocarboxylic acid derivative according to claim 6, wherein  $\text{RuX}^2_2(\text{R}^3\text{-BINAP})$  further coordinated with N,N-dimethylformamide or benzene wherein  $\text{X}^2$  is Cl,  $\text{R}^3\text{-BINAP}$  has the same meaning as the above is used.

8. The process for producing optically active  $\beta$ -hydroxy- $\alpha$ -aminocarboxylic acid derivative according to claim 3, wherein the Group VIII transition metal of the Periodic Table is iridium, and the optically active bidentate phosphine ligand is  $\text{R}^3\text{-BINAP}$  wherein  $\text{R}^3\text{-BINAP}$  has the same meaning as the above or a compound of formula (5)



wherein  $\text{R}^6$  is phenyl group, naphthyl group (the phenyl group and naphthyl group may be arbitrarily substituted with  $\text{C}_{1-6}$  alkyl group or  $\text{C}_{1-6}$  alkoxy group), cyclopentyl group or cyclohexyl group,  $\text{R}^7$  is methyl group or methoxy group,  $\text{R}^8$  is hydrogen atom, methyl group, methoxy group or chlorine atom,  $\text{R}^9$  is hydrogen atom, methyl group, methoxy group, dimethylamino group or diethylamino group, absolute configuration is either S or R.

9. The process for producing optically active  $\beta$ -hydroxy- $\alpha$ -aminocarboxylic acid derivative according to claim 8, wherein an acetic acid salt is added in the reaction system.
10. The process for producing optically active  $\beta$ -hydroxy- $\alpha$ -aminocarboxylic acid derivative according to claim 9, wherein when the complex of a Group VIII transition metal of the Periodic Table is prepared, an iodine compound is added.
11. The process for producing optically active  $\beta$ -hydroxy- $\alpha$ -aminocarboxylic acid derivative according to claim 10, wherein the optically active bidentate phosphine ligand is a compound of the formula (5).
12. The process for producing optically active  $\beta$ -hydroxy- $\alpha$ -aminocarboxylic acid derivative according to claim 11, wherein when the complex of a Group VIII transition metal of the Periodic Table is prepared,  $[\text{Ir}(\text{cod})\text{Cl}]_2$  wherein cod is 1,5-cyclooctadiene is used.
13. The process for producing optically active  $\beta$ -hydroxy- $\alpha$ -aminocarboxylic acid derivative according to any one of claims 1 to 12, wherein the acid is a strong acid.